

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	532	(546/121).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:13
L2	1	l1 and dihydropyrano and imidazo and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:17
L3	295	(546/83).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:17
L4	1	l3 and dihydropyrano and imidazo and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:17

10/582,609

10/582,609

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2289	(544/333).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:30
L2	0	l1 and dihydropyrano and imidazo and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:31
L3	0	l1 and dihydropyrano and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:31
L4	0	l1 and dihydropyrano and pyridine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:31
L5	0	l1 and dihydropyrano! and pyridine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:32
L6	0	l1 and dihydropyrano!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:32
L7	611	l1 and protecting adj group	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:32
L8	433	l7 and pyrimidinyl	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:33
L9	4	l8 and gastric adj acid adj secretion	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:36
L10	524	(544/127).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:36
L11	0	l10 and dihydropyrano and imidazo and pyridine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:38
L12	12	l10 and gastric adj acid adj secretion	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:38
L13	12	l12 and inhibition	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:39
L14	12	l12 and salt!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:39

10/582609
formula (s)
+ Capreact

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=> file reg
COST IN U.S. DOLLARS
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
CA SUBSCRIBER PRICE

SINCE FILE ENTRY	TOTAL SESSION
37.86	212.69
-4.68	-4.68

FILE 'REGISTRY' ENTERED AT 11:06:20 ON 02 APR 2007
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 APR 2007 HIGHEST RN 928822-97-3
DICTIONARY FILE UPDATES: 1 APR 2007 HIGHEST RN 928822-97-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UC/regprops.html>

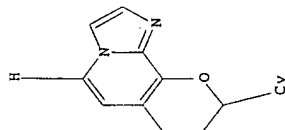
=> Uploading C:\Program Files\Stnexp\Queries\new10582609.str

L7 STRUCTURE UPLOADED

=> d 17
L7 HAS NO ANSWERS
L7 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s 17
SAMPLE SEARCH INITIATED 11:06:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 56 TO ITERATE
100.0% PROCESSED 56 ITERATIONS
SEARCH TIME: 00.00.01

11 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 672 TO 1568
PROJECTED ANSWERS: 22 TO 418

L8 11 SEA SSS SAM L7

=> s 17 full
FULL SEARCH INITIATED 11:06:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1143 TO ITERATE

100.0% PROCESSED 1143 ITERATIONS
SEARCH TIME: 00.00.01

189 ANSWERS

L9 189 SEA SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE ENTRY	TOTAL SESSION
172.10	384.79
0.00	-4.68

FILE 'CAPLUS' ENTERED AT 11:06:55 ON 02 APR 2007
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FILE COVERS 1907 - 2 Apr 2007 VOL 146 ISS 15
FILE LAST UPDATED: 1 Apr 2007 (20070401/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 19 25 L9
L10

=> s 19 full 25 L9
L11

=> process and l11

PROCESS IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=).

=> s l10 and process

2402461 PROCESS
1634263 PROCESSES
3585858 PROCESS

(PROCESS OR PROCESSES)

L12 1 L10 AND PROCESS

=> s 19 full 25 L9
L13

=> s l13/prep

FIELD CODES CANNOT BE CHANGED HERE.

You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

=> s 19/prep full 25 L9
L14 4381572 PREP/RL
17 L9/PREP

(L9 (L) PREP/RL)

=> s l14 and cycliz?

167765 CYCLIZ?

L15 2 L14 AND CYCLIZ?

=> d ibib abs hitstr tot

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L15 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:477237 CAPLUS

DOCUMENT NUMBER: 111:77237
TITLE:

Anticancer agents. 4. Conformational considerations and the anticancer activity of substituted imidazo[1,2-a]pyridines and related analogs Kaminski, James J.; Puchalski, Chester; Solomon, Daniel M.; Rizvi, Razia K.; Conn, David J.; Elliott, Arthur J.; Lovey, Raymond G.; Guzik, Henry; Chiu, P. J. S.; et al.

CORPORATE SOURCE:

Pharm. Res. Div., Schering Res., Bloomfield, NJ, 07003, USA.

SOURCE:

Journal of Medicinal Chemistry (1989), 32(8), 1686-700

CODEN: JMCWAR; ISSN: 0022-2623

DOCUMENT TYPE:

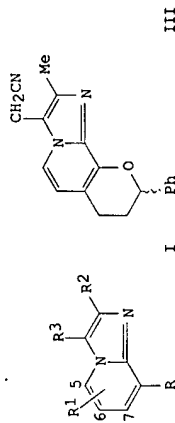
Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 111:77237



AB

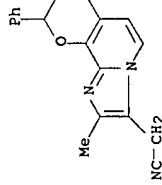
Definition of the interrelationship between the conformational characteristics of a series of substituted imidazo[1,2-a]pyridines and their anticancer activity was investigated by examining the conformational properties of imidazo[1,2-a]pyridine I [R = PhCH₂O, R₁ = H, R₂ = Me, R₃ = CH₂CN (II)], by using a variety of exptl. and theor. methods. The result of these studies was the identification of two distinctly different candidates, designated the folded and the extended conformation, resp., to represent the two possible min.-energy conformations of II. In order to select the biol. relevant conformer, a group of 3-substituted 2-methylimidazo[1,2-a]pyridines, having either a cis- or a trans-2-phenylethynyl substituent at the 8-position, were designed as resp. candidate conformers. Gastric antitumor activity was found to reside only in the trans isomers I (R = trans-PhCH₂CN, R₁ = H, R₂ = Me; R₃ = Me, CH₂CN, NH₂), which mimic the extended conformation. This observation led to the construction of imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile (III), a rigid tricyclic analog that is effectively locked in the extended conformation and that exhibited an anticancer profile comparable to that of prototype II. These results unequivocally demonstrate that, in accord with expectation for a drug operating at a specific receptor, the conformational characteristics of the mol. have a substantial effect in determining its anticancer activity. More precisely, it has been demonstrated that it is the extended conformation of II that represents the bioactive form of the drug. These results constitute the basis for a mol. probe that should aid in the investigation of the as yet uncharacterized gastric proton pump enzyme (H⁺/K⁺-ATPase), by means of

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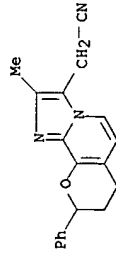
50613257

which II and its analogs presumably exert their pharmacol. actions.

IT 93749-57-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 93749-57-6 CAPLUS
 CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile,
 8,9-dihydro-2-methyl-9-phenyl- (9CI) (CA INDEX NAME)



IT 93749-61-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 93749-61-2 CAPLUS
 CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile,
 8,9-dihydro-2-methyl-9-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L15 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1985:6490 CAPLUS
 DOCUMENT NUMBER: 102:6490
 TITLE: Antiulcer tricyclic imidazo[1,2-a]pyridines
 INVENTOR(S): Gold, Elijah H.; Kaminski, James J.; Puchalski, Chester
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: U.S., 8 pp.
 CODEN: USAXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4468400	A	19840828	US 1982-450862	19821220

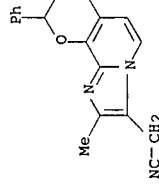
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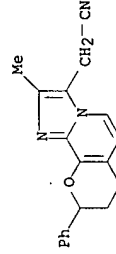
PRIORITY APPLN. INFO.: CASREACT 102:6490; MARPAT 102:6490
 OTHER SOURCE(S):

19821220

GI Tricyclic imidazopyridines I [R = H, alkyl, halo, HO, alkoxy, CF₃; R₁ = pyridyl, thienyl, imidazolyl, furanyl, (un)substituted Ph; R₂ = OH, alkyl, hydroxyalkyl, R₃ = H, alkyl, CH₂CN, hydroxyalkyl, NO, CH₂CN, NR₄R₅; R₄, R₅ = H, alkyl; Z = nonarom. 5- or 6-membered carbocycle, heterocycle; n = 0, 1, 2], useful in the treatment of peptic ulcer diseases (no data), were prepared. Thus, imidazopyridineacetonitrile II (R₆ = H) was condensed with Me₂N-CH₂I- to give II (R₆ = Me₂NCH₂), which was treated with PhR₇C:CH₂ (R₇ = 4-morpholinyl) and hydrolyzed to give II (R₆ = PhCOCH₂CH₂). The latter compound was reduced with NaBH₄ to give the diol which was cyclized with Br₃-OEt₂ to give pyranimidazopyridine III.
 IT 93749-57-6P 93749-61-2P 93749-62-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 93749-57-6 CAPLUS
 CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile,
 8,9-dihydro-2-methyl-9-phenyl- (9CI) (CA INDEX NAME)



RN 93749-61-2 CAPLUS
 CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile,
 8,9-dihydro-2-methyl-9-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

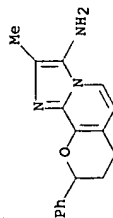


● HCl

RN 93749-62-3 CAPLUS
 CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-amine, 8,9-dihydro-2-methyl-9-phenyl- (9CI) (CA INDEX NAME)

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=> FIL STINGUIDE
COST IN U.S. DOLLARS
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
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SINCE FILE ENTRY	19.86	TOTAL SESSION	404.65
SINCE FILE ENTRY	-1.56	TOTAL SESSION	-6.24

FILE 'STINGUIDE' ENTERED AT 11:10:49 ON 02 APR 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 30, 2007 (20070330/UP).

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Welcome to STN International! Enter x:x

LOGINID:SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 DEC 18 CA/Caplus pre-1967 chemical substance index entries enhanced
with preparation role
NEWS 4 DEC 18 CA/Caplus patent kind codes updated
NEWS 5 DEC 18 MARPAT to CA/Caplus accession number crossover limit increased
to 50,000
NEWS 6 DEC 18 MEDLINE updated in preparation for 2007 reload
NEWS 7 DEC 27 CA/Caplus enhanced with more pre-1907 records
NEWS 8 JAN 08 CHEMIST enhanced with New Zealand Inventory of Chemicals
NEWS 9 JAN 16 CA/Caplus Company Name Thesaurus enhanced and reloaded
NEWS 10 JAN 16 IPC version 2007.01 Thesaurus available on STN
NEWS 11 JAN 16 WPTDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 12 JAN 22 CA/Caplus updated with revised CAS roles
NEWS 13 JAN 22 CA/Caplus enhanced with patent applications from India
NEWS 14 JAN 23 PHAR reloaded with new search and display fields
NEWS 15 JAN 23 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 16 FEB 15 PATDPASC enhanced with Drug Approval numbers
NEWS 17 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 18 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 19 FEB 26 MEDLINE reloaded with enhancements
NEWS 20 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 21 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 22 FEB 26 IFTCDB/IFTPAT/IFTUDB reloaded with enhancements
NEWS 23 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 24 MAR 15 WPTDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 25 MAR 16 CASREACT coverage extended
NEWS 26 MAR 20 MARPAT now updated daily
NEWS 27 MAR 22 LWPI reloaded
NEWS 28 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 29 MAR 30 INPADOCDB will replace INPADOC on STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c. CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.00c(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER, 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that

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specific topic.

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FILE 'HOME' ENTERED AT 11:42:35 ON 02 APR 2007

=> file casreact

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'CASREACT' ENTERED AT 11:42:57 ON 02 APR 2007
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FILE CONTENT:1840 - 1 Apr 2007 VOL 146 ISS 15

New CAS Information Use Policies, enter HELP USAGETERMS for details.

* CASREACT now has more than 12 million reactions *

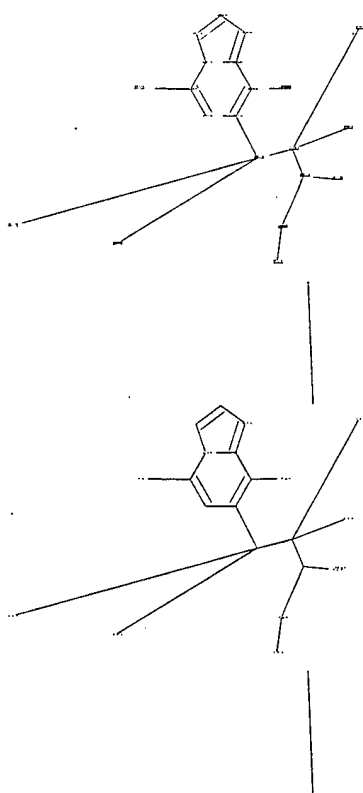
Some CASREACT records are derived from the ZIC/VINITI database (1974-1999)
provided by InfoChem, INPI data prior to 1986, and Biotransformations
database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance
identification.

=> Uploading C:\Program Files\Stnexp\Queries\10582609b.str

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chain nodes :
 10 11 13 14 15 16 17 18 19 20 21 22
 ring nodes :
 1 2 3 4 5 6 7 8 9
 chain bonds :
 1-11 2-15 4-22 10-13 13-14 13-16 14-15 14-18 14-19 15-20 15-21 16-17
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
 exact/norm bonds :
 1-2 1-6 1-11 2-3 3-4 4-5 5-6 5-7 6-9 8-9 10-13 13-16
 exact bonds :
 2-15 4-22 7-8 13-14 14-15 14-18 14-19 15-20 15-21 16-17
 isolated ring systems :
 containing 1 :

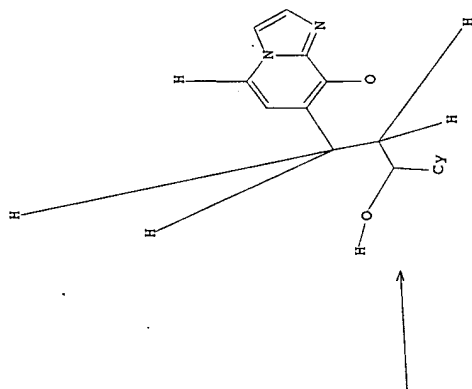
Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
 20:CLASS 21:CLASS 22:CLASS
 Generic attributes :
 10:
 Saturation : Unsaturated

fragments assigned product role:
 containing 1

L1 STRUCTURE UPLOADED
 => d 11
 L1 HAS NO ANSWERS
 L1 STR

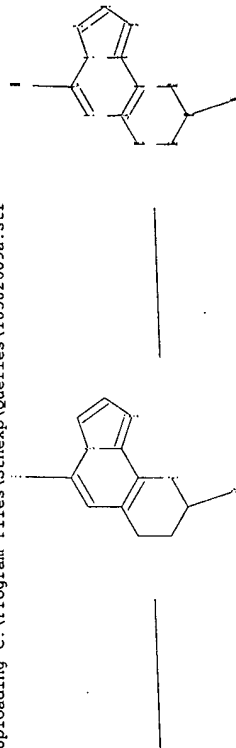
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Structure attributes must be viewed using STN Express query preparation.

=> Uploading C:\Program Files\Stnexp\Queries\10582609a.str



chain nodes :
 11 16
 ring nodes :
 1 2 3 4 5 6 7 8 9 12 13 14 15
 chain bonds :
 4-11 13-16
 ring bonds :
 1-2 1-6 1-12 2-3 2-15 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 13-14 14-15
 exact/norm bonds :

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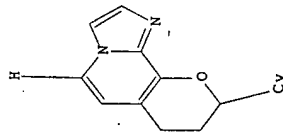
50613257

1-2 1-6 1-12 2-3 2-15 3-4 4-5 5-6 5-7 6-9 8-9 12-13 13-14 13-16 14-15
exact bonds :
4-11 7-8
isolated ring systems :
containing 1 :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom
fragments assigned product role:
containing 1

L2 STRUCTURE UPLOADED

=> d 12
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 full
FULL SEARCH INITIATED 11:45:01 FILE 'CASREACT'
SCREENING COMPLETE - 46 REACTIONS TO VERIFY FROM 6 DOCUMENTS
100.0% DONE 46 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01
L3 0 SEA SSS FUL L1 (0 REACTIONS)
=> s 12 full
FULL SEARCH INITIATED 11:45:10 FILE 'CASREACT'
SCREENING COMPLETE - 1130 REACTIONS TO VERIFY FROM 55 DOCUMENTS
100.0% DONE 1130 VERIFIED 10 HIT RXNS 2 DOCS
SEARCH TIME: 00.00.01

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L4 2 SEA SSS FUL L2 (10 REACTIONS)

=> d ibib abs fqhit tot
'FOHIT' IS NOT A VALID FORMAT FOR FILE 'CASREACT'
The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE, Single-step Reactions
APPS ----- AL, FRAI
BIB ----- AN, plus Bibliographic Data
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IND ----- Indexing data
IPC ----- International Patent Classifications
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
MAX ----- Same as ALL
PATS ----- PI, SO
SCAN ----- TI and FCRD (random display, no answer number. SCAN
must be entered on the same line as DISPLAY, e.g.,
D SCAN.)
SSRX ----- Single-Step Reactions (Map, Diagram, and Summary for
all single-step reactions)
STD ----- BIB, IPC, and NCL
CRD ----- Compact Display of All Hit Reactions
CRDREF ----- Compact Reaction Display and SO, PY for Reference
FHIT ----- Reaction Map, Diagram, and Summary for first
hit reaction
FHITCBIB ----- FHIT, AN plus CBIB
FCRD ----- First hit in Compact Reaction Display (CRD) format
FCRDREF ----- First hit in Compact Reaction Display (CRD) format with
CA reference information (SO, PY). (Default)
FSPATH ----- PATH, plus Reaction Summary for the "long path"
FSPATH ----- SPATH, plus Reaction Summary for the "short path"
HIT ----- Reaction Map, Reaction Diagram, and Reaction
Summary for all hit reactions and fields containing
hit terms
OCC ----- All hit fields and the number of occurrences of the
hit terms in each field. Includes total number of
HIT, PATH, SPATH reactions. Labels reactions that have
incomplete verifications.
PATH ----- Reaction Map and Reaction Diagram for the "long
path". Displays all hit reactions, except those
whose steps are totally included within another hit
reaction which is displayed
RX ----- Hit Reactions (Map, Diagram, Summary for all hit reactions)
RXG ----- Hit Reaction Graphics (Map and Diagram for all hit reactions)
RXL ----- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)

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To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP OFIELDS from an arrow prompt (=>). Examples of combinations include: D TI, D BITB RX, D TI AU, FCRD. The information is displayed in the same order as the specification. All of the formats, except CRD, CRDREF, FHIT, PATH, FPATH, SPATH, FSPATH, FCRDREF, FHIT, RX, RGS, SCAN, and OCC, may be used with the DISPLAY command to display the record for a specified Accession Number.

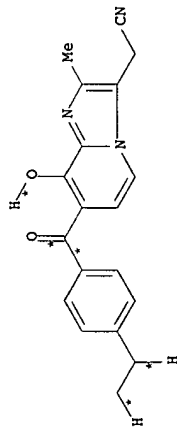
L4 ANSWER 1 OF 2 CASREACT COPYRIGHT 2007 ACS on STN

T O C E C E T T I N G (L C)

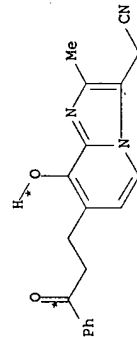
STAGE(2)
RGT DV 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

IL4 ANSWER 2 OF 2 CASREACT COPYRIGHT 2007 ACS on STN

CC1=CC=C(C=C1)C(=O)CCc2cc(O)c3nc(C)c(C#N)cn32

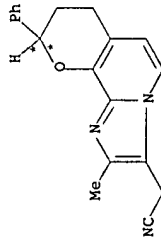


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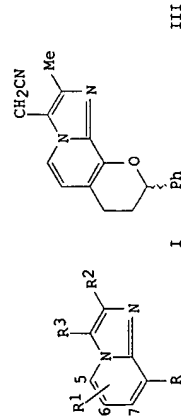


B

RX(1) RCT A 93749-59-8
PRO B 93749-57-6

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L4 ANSWER 1 OF 2 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 111-77237 CASREACT
TITLE: Antiulcer agents. 4. Conformational considerations and the antiulcer activity of substituted imidazo[1,2-a]pyridines and related analogs
AUTHOR(S): Kaminski, James J.; Ruchalski, Chester; Solomon, Daniel M.; Rizvi, Razia K.; Conn, David J.; Elliott, Arthur J.; Lovey, Raymond G.; Guzlik, Henry; Chiu, P. J. S.; et al.
CORPORATE SOURCE: Pharm. Res. Div., Schering Res., Bloomfield, NJ, 07003, USA
SOURCE: Journal of Medicinal Chemistry (1989), 32(8), 1686-700
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



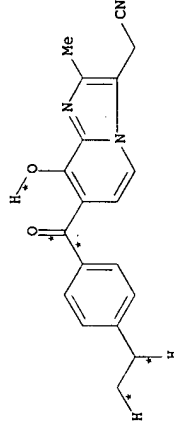
AB Definition of the interrelationship between the conformational characteristics of a series of substituted imidazo[1,2-a]pyridines and their antiulcer activity was investigated by examining the conformational properties of imidazo[1,2-a]pyridine I [R = PhCH₂O, R₁ = H, R₂ = Me, R₃ = CH₂CN (II)], by using a variety of exptl. and theor. methods. The result of these studies was the identification of two distinctly different candidates, designated the folded and the extended conformation, resp., to

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represent the two possible min.-energy conformations of II. In order to select the biol. relevant conformer, a group of 3-substituted 2-methylimidazo[1,2-a]pyridines, having either a cis- or a trans-2-phenylethyl substituent at the 8-position, were designed as conceptually simple and synthetically accessible semirigid analogs of the resp. candidate conformers. Gastric antisecretory activity was found to reside only in the trans isomers I (R = trans-PhCH₂CH₂, R₁ = H, R₂ = Me; R₃ = Me, CH₂CN, NH₂), which mimic the extended conformation. This observation led to the construction of imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile (III), a rigid tricyclic analog that is effectively locked in the extended conformation and that exhibited an antiulcer profile comparable to that of prototype II. These results unequivocally demonstrate that, in accord with expectation for a drug operating at a specific receptor, the conformational characteristics of the mol. have a substantial effect in determining its antiulcer activity. More precisely, it has been demonstrated that it is the extended conformation of II that represents the bioactive form of the drug. These results constitute the basis for a mol. probe that should aid in the investigation of the as yet uncharacterized gastric proton pump enzyme (H⁺/K⁺-ATPase), by means of which II and its analogs presumably exert their pharmacol. actions.

RX(54) OF 213 ...DT ==> DU...



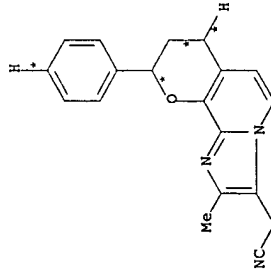
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DT

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DU

RX(54) RCT DT 121394-50-1

STAGE(1)
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SOL 64-17-5 EtOH, 75-09-2 CH2Cl2

STAGE(2)
RGT DV 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

PRO DU 93749-57-6
NTE sand used in second step

L4 ANSWER 2 OF 2 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 102:6490 CASREACT
TITLE: Anticancer tricyclic imidazol[1,2-a]pyridines
INVENTOR(S): Gold, Elijah H.; Kaminski, James J.; Fuchalski, Chester
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

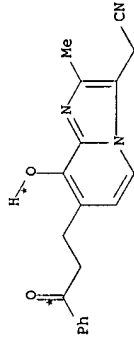
PATENT NO. KIND DATE APPLICATION NO. DATE
US 4468400 A 19840828 US 1982-450862 19821220
OTHER SOURCE(S):
GI For diagram(s), see printed CA Issue.
AB Tricyclic imidazopyridines I (R = H, alkyl, halo, HO, alkoxy, CF3; R1 = pyridyl, thienyl, imidazolyl, furanyl, (un)substituted Ph; R2 = OH, alkyl, hydroxyalkyl R3 = H, alkyl, CH2CN, hydroxyalkyl, NO, CH2NC, NR4R5; R4, R5 = H, alkyl; Z = nonarom. 5- or 6-membered carbocycle, heterocycle; n = 0, 1, 2), useful in the treatment of peptic ulcer diseases (no data), were

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prepared. Thus, imidazopyridineacetonitrile II (R6 = H) was condensed with Me2N+:CH2I- to give II (R6 = Me2NCH2), which was treated with PhR7C:CH2 (R7 = 4-morpholinyl) and hydrolyzed to give II (R6 = PhCOCH2CH2). The latter compound was reduced with NaBH4 to give the diol which was cyclized with BF3·OEt2 to give pyranolimidazopyridine III.

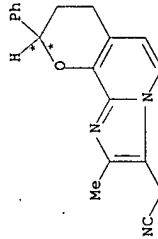
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● HCl

A

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B

RX(1) RCT A 93749-59-8
PRO B 93749-57-6

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L3 0 S L1 FULL
L4 2 S L2 FULL

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COST IN U.S. DOLLARS
FULL ESTIMATED COST
SINCE FILE ENTRY 244.12
TOTAL SESSION 244.33

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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SINCE FILE ENTRY
-1.46

TOTAL
SESSION
-1.46

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10/582,602
2006/11/17

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PASSWORD:

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NEWS 4 with preparation role
NEWS 5 DEC 18 CA/CAPLUS patent kind codes updated
NEWS 6 MARPAT to CA/CAPLUS accession number crossover limit increased
NEWS 7 to 50,000
NEWS 8 DEC 18 MEDLINE updated in preparation for 2007 reload
NEWS 9 DEC 27 CHEMIST enhanced with more pre-1907 records
NEWS 10 JAN 08 CHEMIST enhanced with New Zealand Inventory of Chemicals
NEWS 11 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS 12 JAN 16 IPC version 2007.01 Thesaurus available on STN
NEWS 13 JAN 16 WPIDS/WPIDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 14 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 15 JAN 22 CA/CAPLUS enhanced with patent applications from India
NEWS 16 PHAR reloaded with new search and display fields
NEWS 17 CAS Registry Number crossover limit increased to 300,000 in
NEWS 18 multiple databases
NEWS 19 PATDAPSC enhanced with Drug Approval numbers
NEWS 20 FEB 15 RUSSAPAT enhanced with pre-1994 records
NEWS 21 FEB 15 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 22 FEB 26 MEDLINE reloaded with enhancements
NEWS 23 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 24 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 25 FEB 26 IFCDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 26 CAS Registry Number crossover limit increased from 10,000
NEWS 27 to 300,000 in multiple databases
NEWS 28 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 29 CASREACT coverage extended
NEWS 30 MAR 20 MARPAT now updated daily
NEWS 31 MAR 22 LWPI reloaded
NEWS 32 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 33 MAR 30 INPADOCDB will replace INPADOC on STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c. CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.03c(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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2.73 2.73

FULL ESTIMATED COST

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http://www.cas.org/ONLINE/UG/regprops.html

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L1 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE
100.0% PROCESSED 8 ITERATIONS
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 1 TO 80

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L2 1 SEA SSS SM L1

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SEARCH TIME: 00.00.01

L3 20 SEA SSS FUL L1

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FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:00:45 ON 02 APR 2007
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FILE LAST UPDATED: 1 Apr 2007 (20070401/ED)

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=> s 13 6 L3
L4
=> s '13 full 6 L3
L5

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L6 3 L5 AND PY<2004

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L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1049864 CAPLUS
DOCUMENT NUMBER: 143:326367

TITLE: Preparation of tricyclic imidazopyridines as inhibitors of gastric acid secretion

INVENTOR(S): Chiesa, M. Vittoria; Zimmermann, Peter Jan; Brehm,

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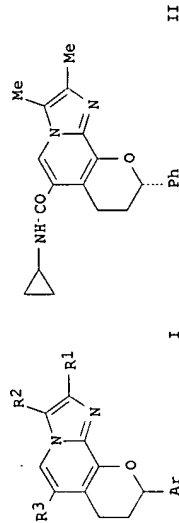
Christof; Simon, Wolfgang-Alexander; Kromer, Wolfgang;
Postius, Stefan; Palmer, Andreas; Buhr, Wilh
Altana Pharma A.-G., Germany
PCT Int. Appl., 108 pp.
SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090358	A2	20050929	WO 2005-EP51211	20050316
WO 2005090358	A3	20060126		
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RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005223389	A1	20050929	AU 2005-223389	20050316
CA 2559310	A1	20050929	CA 2005-2559310	20050316
EP 1735318	A2	20061227	EP 2005-717076	20050316
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CN 1930171	A	20070314	CN 2005-8006989	20050316
PRIORITY APPL. INFO.:				
EP 2004-101092			EP 2004-106577	A 20040317
WO 2005-EP51211				A 20041214
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OTHER SOURCE(S): MARPAT 143:326367

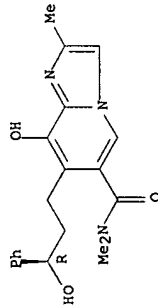
GI



AB Tricyclic imidazopyridines of formula I [R1 = H, alkyl, cycloalkyl, alkoxy, etc.; R2 = H, alkyl, cycloalkyl, alkoxy, carbonyl, hydroxyalkyl, OH, (substituted) amino, etc.; R3 = acyl, hydroxyalkyl, alkoxyalkyl, alkoxy, carbonyl, CN, heterocyclyl, etc.; Ar = mono or bicyclic aromatic such as Ph, naphthyl, pyrrolyl, indolyl, furyl, etc.] are prepared which inhibit the secretion of gastric acid. Thus, II was prepared, and showed 100% inhibition of pentagastrin-stimulated acid secretion in rats at 1

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IT	865452-87-5	CAPLUS	Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2-trimethyl- (CA INDEX NAME)
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CN	865452-87-5	CAPLUS	

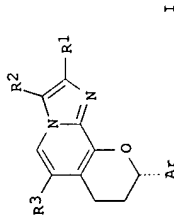


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WO 2005083825	A8	20060531		
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AU 2004298788	A1	20050630	AU 2004-298788	20041217
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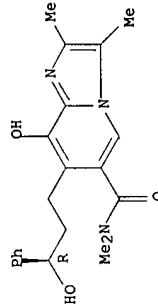
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU	CN 1889955	A	20070103	CN 2004-800336876	20041217
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OTHER SOURCE(S):	MAREPAT 143:97362				

MARPAT 143:97362



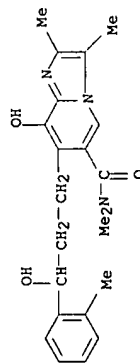
AB	Title compds. [I: R1 = H, alkyl, cycloalkyl, alkoxyalkyl, alkoxyacarbonyl; R2 = H, alkyl, halo, alkoxyalkyl, alkynyl, hydroxyalkyl, cycloalkyl, alkoxyacarbonyl; R3 = hydroxyalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkoxyacarbonyl, carbamate; Ar = (substituted) Ph, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridyl, pyrrolidinyl, quinolyl, isoquinolyl], were prepared Thus, (9S)-2,3-dimethyl-9-phenyl-7H-8,9-dihydroprano[12,3-c]imidazo[1,2-a]pyridine-6-carboxylic acid dimethylamide (isolated via chiral chromatog on a CHIRALPAK AD 2M column) at 1 μmol/kg i.d. in perfused rat stomach gave 100% inhibition of acid secretion.
IT	856449-27-9p 856698-40-3P 856698-41-4P 856698-42-5P 856698-43-6P 856698-65-2P 856698-66-3P 856698-67-4P 856698-68-3P R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyranimidazopyridines as gastric secretion inhibitors)
RN	856449-27-9p CAPLUS
CN	Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-((3R)-3-hydroxy-3-phenylpropyl)-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



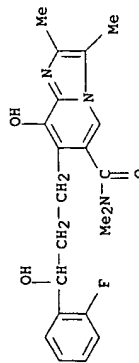
Absolute stereochemistry.

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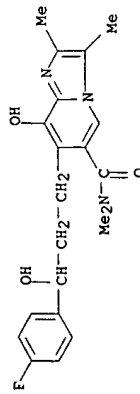
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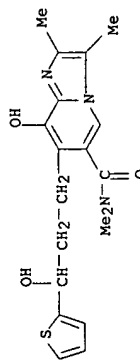
RN 856698-41-4 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 7-[3-(2-fluorophenyl)-3-hydroxypropyl]-8-hydroxy-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



RN 856698-42-5 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 7-[3-(4-fluorophenyl)-3-hydroxypropyl]-8-hydroxy-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



RN 856698-43-6 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[3-hydroxy-3-(2-thienyl)propyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

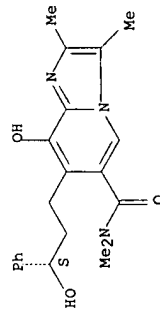


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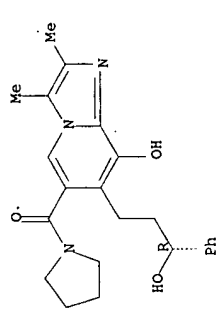
RN 856698-65-2 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3S)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



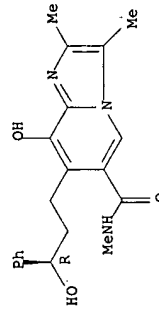
RN 856698-66-3 CAPLUS
CN Pyrrolidine, 1-[[8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-2,3-dimethylimidazo[1,2-a]pyridin-6-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856698-67-4 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2,3-trimethyl- (9CI) (CA INDEX NAME)

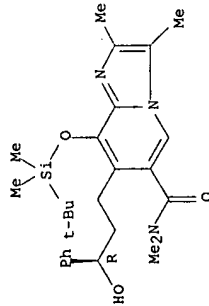
Absolute stereochemistry.



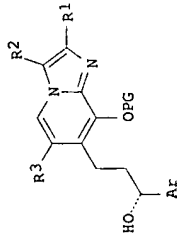
RN 856698-68-5 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[1,1-dimethylethyl)dimethylsilyloxy]-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

Erich Leeser

Absolute stereochemistry.



GI

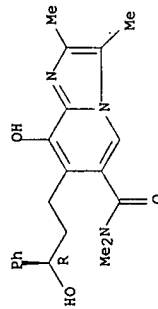


Absolute stereochemistry.

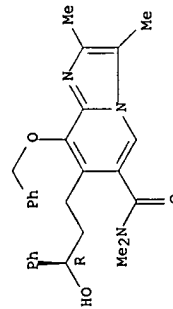
Erich Leiser

Chemical structure of compound 10: A 1,2,3,4-tetrahydronaphthalene derivative. The naphthalene ring has a trimethylsilyloxy group at position 1 and a 2-methyl-2-phenyl-3-hydroxypropyl group at position 2. The naphthalene ring is substituted with a methyl group at position 5 and a dimethylamino group at position 8.

Absolute stereochemistry.



Absolute stereochemistry.



Erich Leser

Erich Leser

KIND	DATE	APPLICATION NO.	DATE
A1	20030220	WO 2002-EP8505	20020731
BA	BR, CA, CN,	CO, CU, DE, ES, FR,	HU, ID, IE, IL,
BR	LT, LV, MA,	MX, MK, NO, NZ, PH,	PL, RO, SG, SI,
CA	ZA, ZW,	AM, AZ, BY, KG, KZ,	MD, RU, TJ, TM,
CH	VN, YU,	DA, EE, ES, FI, FR,	GB, GR, IE, IT,
CN	CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, IE, IT,
CU	SE, SK, TR		
DE	20030220	CA 2002-2452803	20020731
ES	20040519	EP 2002-794528	20020731
FR	20050615		
GB	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
GR	LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, SK
IE	A 20041027	CN 2002-815601	20020731
IL	20050217	JP 2003-519072	20020731
IN	20050628	BR 2002-11826	20020731
IT	20050715	AT 2002-794528	20020731
JP	20050578	HU 2005-330	20020731
KZ	20051028	NZ 2002-531520	20020731
LI	20051031	PT 2002-794528	20020731
LU	20051201	ES 2002-2794528	20020731
MA	20050218	IN 2003-NN1151	20031218
MD	A 20050303	US 2004-485515	20040202
MC	20050420	ZA 2004-918	20040204
NL	A 20040210	NO 2004-604	20040210
NO	20051125	HK 2004-109042	20041116
PL		EP 2001-119321	200110810
PT		WO 2002-EP8505	A 20020731

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AB Title compds. [I; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, alkoxyalkyl, alkoxyalkenyl, alkenyl, alkynyl, fluoroalkyl, hydroxyalkyl, halo, alkenyl, alkynyl, fluoroalkyl, cyanomethyl; R3 = hydroxyalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkoxyalkenyl, fluoroalkoxyalkyl, aminocarbonyl; Ar = (substituted) Ph, naphthyl, pyrrolidyl, pyrazolyl, imidazolyl, triazolyl, thienyl, furyl, benzofuryl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, quinolinyl, isoquinolinyl], were prepared. Thus, 2,3-dimethyl-8-hydroxy-7-[(3-phenyl-3-hydroxypropyl)-N,N-diethylimidazo[1,2-a]pyridine-6-carboxamide (preparation given) was stirred with BF₃·Et₂O in CH₂Cl₂ for 4 h to give N,N-diethyl-2,3-dimethyl-9-phenyl-7H-8,9-dihydroprano[2,3-c]imidazo[1,2-a]pyridine-6-carboxamide. The latter at 3.0 mmol/kg in rats gave 100% inhibition of gastric acid secretion.

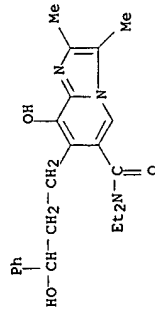
IT 498529-45-6P, 2,3-Dimethyl-8-hydroxy-7-(3-phenyl-3-hydroxypropan-1-yl)-N,N-diethylimidazo[1,2-a]pyridine-6-carboxamide 498529-49-0P, Ethyl 2,3-dimethyl-7-(3-hydroxy-3-phenylpropan-1-yl)-8-hydroxyimidazo[1,2-a]pyridine-6-carboxylate 498529-54-7P, 2,3-Dimethyl-7-(3-hydroxy-3-phenylpropan-1-yl)-8-hydroxy-N,N-dimethylimidazo[1,2-a]pyridine-6-carboxamide

RU: RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyranimidazopyridines for treatment of gastrointestinal disorders)

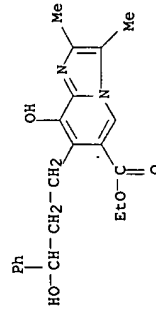
RN 498529-45-6 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, N,N-diethyl-8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2,3-dimethyl- (9CI) (CA INDEX NAME)



RN 498529-49-0 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2,3-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



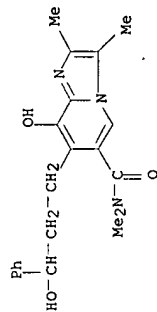
RN 498529-54-7 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-(3-hydroxy-3-

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phenylpropyl)-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

15 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:996647 CAPLUS

DOCUMENT NUMBER: 124:176092

TITLE: Preparation of 3-hydroxymethylidihydroprano[2,3-c]imidazo[1,2-a]pyridines as gastric acid secretion inhibitors

INVENTOR(S): Braving, Carin Birgitta; Nordberg, Mats Peter; Starke, Carl Ingemar

PATENT ASSIGNEE(S): Astra AB, Swed.

SOURCE: PCT Int. Appl., 62 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

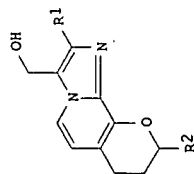
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

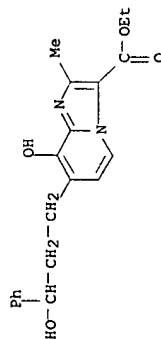
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9527714	A1	19951019	WO 1995-SE376	19950407
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, ML, MR, NE, SN, TD, TG				
IN 1995DE00561	A	20050311	IN 1995-DE561	19950328
ZA 9502860	A	19960112	ZA 1995-2860	19950406
AU 9522706	A	19951030	AU 1995-22706	19950407
SE 1994-1197			SE 1994-1197	19940411
WO 1995-SE376			WO 1995-SE376	19950407
OTHER SOURCE(S):				
GI				

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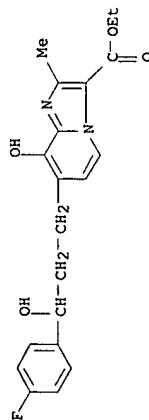
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AB Title compds. [I; R1 = Me or Et; R2 = (un)substituted Ph] were prepared Thus, Et 8-benzoyloxy-2-methylimidazo[1,2-a]pyridine-3-carboxylate was converted in 6 steps to I (R1 = Me, R2 = Ph) which had ED50 of 1.8µmol/kg intraduodenally for inhibition of pentagastrin and carbachol-induced gastric acid secretion in rats.
IT 173530-76-2P 173530-79-5P 173530-83-1P
173530-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-hydroxymethylidihydropyrano[2,3-c]imidazo[1,2-a]pyridines as gastric acid secretion inhibitors)
RN 173530-76-2 CAPLUS
CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 173530-79-5 CAPLUS
CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 7-[3-(4-fluorophenyl)-3-hydroxypropyl]-8-hydroxy-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



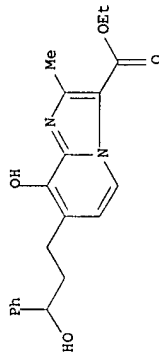
RN 173530-83-1 CAPLUS
CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-

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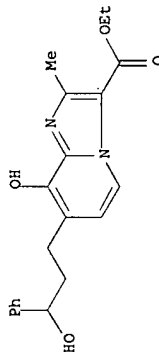
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phenylpropyl)-2-methyl-, ethyl ester, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



RN 173530-86-4 CAPLUS
CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2-methyl-, ethyl ester, (+)-(9CI) (CA INDEX NAME)
Rotation (+).



L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:6490 CAPLUS
DOCUMENT NUMBER: 102:6490
TITLE: Antiulcer tricyclic imidazo[1,2-a]pyridines
INVENTOR(S): Gold, Elijah H.; Kaminski, James J.; Puchalski, Chester
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4468400	A	19840828	US 1982-450862	19821220
PRIORITY APPL. INFO.: CASREACT 102:6490; MARPAT 102:6490			US 1982-450862	19821220
OTHER SOURCE(S):				
GI For diagram(s), see printed CA issue.				
AB Tricyclic imidazopyridines I [R = H, alkyl, halo, HO, alkoxy, CF3; R1 = pyridyl, thienyl, imidazolyl, furanyl, (un)substituted Ph; R2 = OH, alkyl, hydroxyalkyl, R3 = H, alkyl, CH2CN, hydroxyalkyl, NO, CH2NC, NR4R5; R4, R5 = H, alkyl; Z = nonarom. 5- or 6-membered carbocycle, heterocycle; n = 0, 1, 2], useful in the treatment of peptic ulcer diseases (no data), were prepared Thus, imidazopyridineacetoneitrile II (R6 = H) was condensed with				

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Me₂N⁺:CH₂I⁻ to give II (R₆ = Me₂NCH₂), which was treated with Ph₇C:CH₂ (R₇ = 4-morpholinyl) and hydrolyzed to give II (R₆ = PhCOCH₂CH₂). The latter compound was reduced with NaBH₄ to give the diol which was cyclized with BF₃·OEt₂ to give pyranolimidazopyridine III.

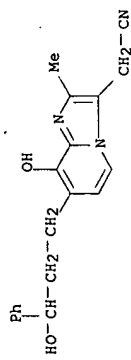
IT 93749-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 93749-60-1 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetonitrile, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2-methyl- (9CI) (CA INDEX NAME)



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